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CLAIMS

A method for identifying a ligand of NPC1L1 comprising:
 contacting human NPC1L1 with a detectably labeled substituted 2-azetidinone
 glucuronide and a candidate compound; and

determining whether said candidate compound binds to human NPC1L1; wherein binding of said candidate compound to human NPC1L1 modulates binding of said detectably labeled substituted 2-azetidinone glucuronide to human NPC1L1, wherein the detectably labeled substituted 2-azetidinone glucuronide has a binding affinity K_D value for human NPC1L1 that is 200nM or lower, and wherein said modulation indicates that the candidate compound is a ligand that binds to human NPC1L1.

- 2. The method of claim 1, wherein the K_D value is 100nM or lower.
- 3. The method of claim 1, wherein the K_D value is 50nM or lower.
- 4. The method of claim 1, wherein the K_D value is 20nM or lower.
- 5. The method of claim 1, wherein the K_D value is 10nM or lower.
- 6. The method of claim 1, wherein the substituted 2-azetidinone-glucuronide is selected from the group consisting of a compound of Formula I and a compound of Formula II.
- 7. The method of claim 6, wherein the substituted 2-azetidinone-glucuronide comprises a detectable label from the group consisting of ³⁵S and ¹²⁵I.
 - 8. The method of claim 7, wherein the detectable label is ³⁵S.
- 9. The method of claim 6, wherein the substituted 2-azetidinone-glucuronide is a compound of Formula II, wherein R⁹ comprises an -SO₂- group.
- 10. The method of claim 9, wherein the substituted 2-azetidinone-glucuronide of Formula II is labeled with ³⁵S.

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11. A method for identifying a ligand of NPC1L1 comprising:

contacting human NPC1L1 with a detectably labeled substituted 2-azetidinone glucuronide of Formula II and a candidate compound; and

determining whether said candidate compound binds to human NPC1L1; wherein binding of said candidate compound to human NPC1L1 modulates binding of said detectably labeled substituted 2-azetidinone glucuronide of Formula II to human NPC1L1, and wherein said modulation indicates that the candidate compound is a ligand that binds to human NPC1L1.

- 12. The method of claim 11, wherein R⁹ of the detectably labeled substituted
 2-azetidinone glucuronide of Formula II comprises an -SO₂- group.
- 13. The method of claim 11, wherein the detectably labeled substituted 2-azetidinone glucuronide of Formula II is labeled with 35 S.
- 14. The method of claim 11, wherein the detectably labeled substituted 2-azetidinone glucuronide of Formula II has a binding affinity K_{D} value for human NPC1L1 that is 200nM or lower.
 - 15. The method of claim 14, wherein the K_D value is 100nM or lower.
 - 16. The method of claim 14, wherein the K_D value is 50nM or lower.
 - 17. The method of claim 14, wherein the K_D value is 20nM or lower.
 - 18. The method of claim 14, wherein the $K_{\mathbf{D}}$ value is 10nM or lower.
- 19. The method of claim 1 wherein the detectably labeled substituted 2-azetidinone glucuronide is labeled with ³⁵S.
- 20. The method of claim 1 wherein the detectably labeled substituted 2-azetidinone glucuronide is 35 S-labeled compound $\underline{2}$.